In vitro characterization of the radiotracer [131]MTO

- [131]MTO binds fast and reversibly to rat adrenal
- sites with an equilibrium constant $(K_D) = 7.4 \pm 2.8$ nM Saturation analysis suggested a single class of binding membranes
- competitive inhibitors of specific [131]MTO binding to adrenal membranes All synthetic analogues of MTO were characterized as
- Known inhibitors of 11β-hydroxylase acticity of [131]]MTO binding. (metyrapone, ketoconazole) are also potent displacers

Figure 2

Inhibition of 131-MTO binding by etomidate derivatives

Inhibitor	IC ₅₀ (nM)	SD	n
Etomidate	1.08	0.42	<u> </u>
Metomidate	3.69	1.92	တ
4-lodo-metomidate	9.0	3.7	15
2-Fluoro-etomidate	2.89	0.55	4
Free acid	123 µM	41	ω

Distribution of radioactivity after intravenous injection of ¹³¹I-MTO in rats (means ± SD, n=4)

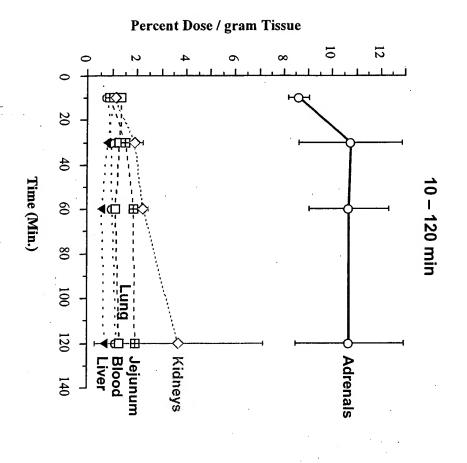


Figure 4

Target / Non-Target Ratios obtained with 131 I-MTO

Organ	10	30	60	120 (min)
Adrenal / Kidney	7.7	5.7	4.7	2.9
Adrenal / Liver	8.4	13.9	19.7	15.5
Adrenal / Jejunum	9.8	7.2	5.7	5.5
Adrenal / Blood	11.3	11.1	10.7	9.0

Distribution of radioactivity after intravenous injection of ^{18}F -FETO in rats (means \pm SD, n = 3)

